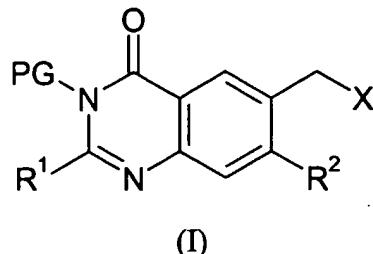


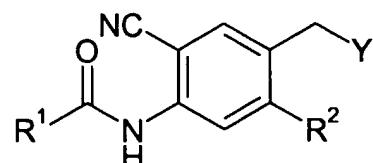
CLAIMS

1. A process for the preparation of a quinazolin-4-one derivative of formula (I):



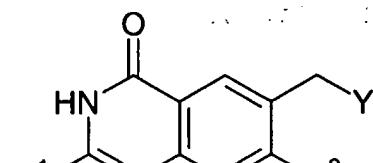
5 where R¹ and R² are each independently hydrogen or methyl, PG is a protecting group and X is a leaving group;

including the step of cyclization an amide of formula (II):



10 (II)

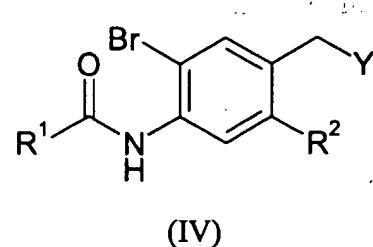
wherein R¹ and R² are as defined above and Y is a leaving group; or a protected derivative thereof; to form a quinazolin-4-one derivative of formula (III):



15 R N (III)

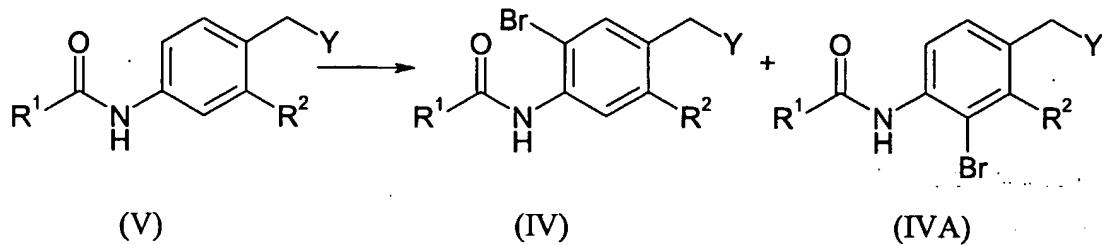
2. A process as claimed in claim 1 wherein the amide of formula (II) is made by reacting a compound of formula (IV)

20

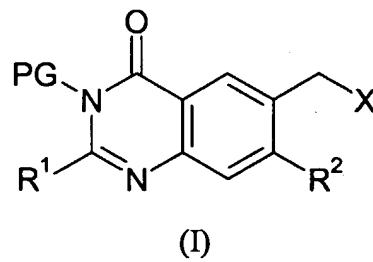


with a cyanide reagent.

3. A process as claimed in claim 2 wherein the compound of formula (IV) is made by a regioselective bromination step from a compound of formula (V) using the
5 reaction step:



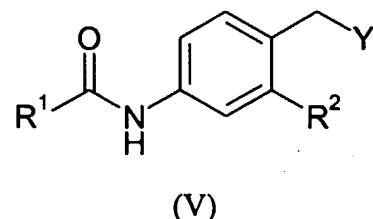
4. A process for the preparation of a quinazolin-4-one derivative of formula (I):



10

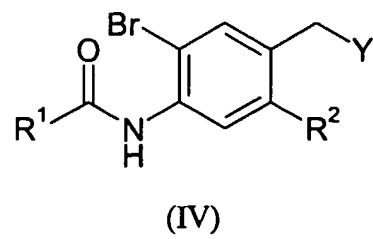
where R¹ and R² are each independently hydrogen or methyl, PG is a protecting group and X is a leaving group;
including the step of brominating a compound of formula (V):

15



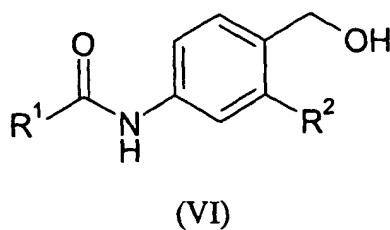
20

wherein R¹ and R² are as defined above and Y is a leaving group;
or a protected derivative thereof;
to form a compound of formula (IV)



or a protected derivative thereof.

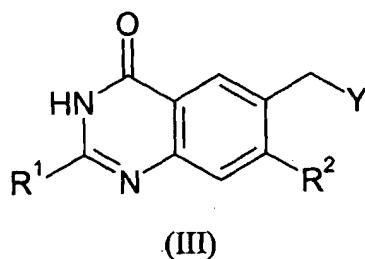
5. A process as claimed in claim 4 wherein the compound of formula (V) is made by derivatization of an alcohol of formula (VI):



6. A process as claimed in any preceding claim wherein at least one of R¹ and R² is methyl.

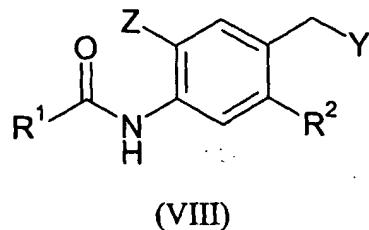
7. A process as claimed in any claim 6 wherein R¹ and R² are both methyl.

10 8. A quinazolin-4-one derivative of formula (III):



15 where R¹ and R² are each independently hydrogen or methyl, and Y is a C₁₋₄ acyloxy group or benzyloxy.

9. An amide of formula (VIII):

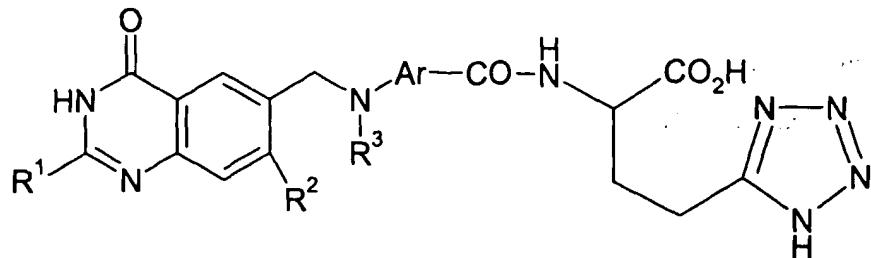


20 wherein R¹ and R² are each independently hydrogen or methyl, Y is a C₁₋₄ acyloxy group or benzyloxy and Z is Br or CN.

10. A compound as claimed in claim 8 or claim 9 wherein at least one of R¹ and R² is methyl.

11. A compound as claimed in claim 10 wherein R¹ and R² are both methyl.

25 12. A process as claimed in any one of claims 1 to 7 wherein the process is used to prepare a quinazoline-4-one of formula (IX):



(IX)

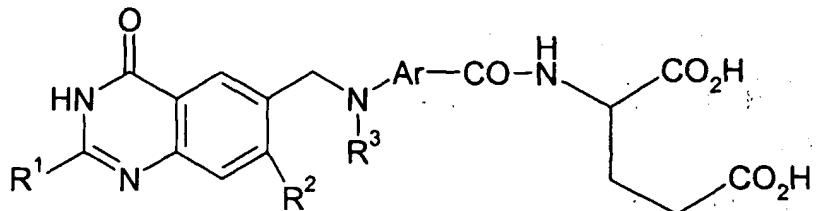
wherein R¹ and R² are each independently hydrogen or methyl;

5 R³ hydrogen, C₁₋₄ alkyl, C₃₋₄ alkenyl, C₃₋₄ alkynyl, C₂₋₄ hydroxyalkyl, C₂₋₄ halogenoalkyl or C₁₋₄ cyanoalkyl;

and Ar is phenylene, thiophenediyl, thiazolediyl, pyridinediyl or pyrimidine-diyl which may optionally bear one or two substituents selected from halogeno, hydroxy, amino, nitro, cyano, trifluoromethyl, C₁₋₄ alkyl and C₁₋₄ alkoxy;

10 or a pharmaceutically-acceptable salt or ester thereof.

13. A process as claimed in any one of claims 1 to 7 wherein the process is used to prepare a quinazoline-4-one of formula (X):



(X)

15 wherein R¹ and R² are each independently hydrogen or methyl;

R³ hydrogen, C₁₋₄ alkyl, C₃₋₄ alkenyl, C₃₋₄ alkynyl, C₂₋₄ hydroxyalkyl, C₂₋₄ halogenoalkyl or C₁₋₄ cyanoalkyl;

20 and Ar is phenylene, thiophenediyl, thiazolediyl, pyridinediyl or pyrimidine-diyl which may optionally bear one or two substituents selected from halogeno, hydroxy, amino, nitro, cyano, trifluoromethyl, C₁₋₄ alkyl and C₁₋₄ alkoxy;

or a pharmaceutically-acceptable salt or ester thereof.